

10/550,038 YONG CHU 05-18-2005

PRD 5/20/2003

\$\$^STN;HighlightOn=;HighlightOff=;

only one ODP 3/9

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 JAN 17 Pre-1988 INPI data added to MARPAT
NEWS 4 FEB 21 STN AnaVist, Version 1.1, lets you share your STN AnaVist
visualization results
NEWS 5 FEB 22 The IPC thesaurus added to additional patent databases on STN
NEWS 6 FEB 22 Updates in EPFULL; IPC 8 enhancements added
NEWS 7 FEB 27 New STN AnaVist pricing effective March 1, 2006
NEWS 8 MAR 03 Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 9 MAR 22 EMBASE is now updated on a daily basis
NEWS 10 APR 03 New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS 11 APR 03 Bibliographic data updates resume; new IPC 8 fields and IPC
thesaurus added in PCTFULL
NEWS 12 APR 04 STN AnaVist \$500 visualization usage credit offered
NEWS 13 APR 12 LINSPEC, learning database for INSPEC, reloaded and enhanced
NEWS 14 APR 12 Improved structure highlighting in FQHIT and QHIT display
in MARPAT
NEWS 15 APR 12 Derwent World Patents Index to be reloaded and enhanced during
second quarter; strategies may be affected
NEWS 16 MAY 10 CA/CAPplus enhanced with 1900-1906 U.S. patent records
NEWS 17 MAY 11 KOREAPAT updates resume

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
<http://download.cas.org/express/v8.0-Discover/>

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8
NEWS X25 X.25 communication option no longer available after June 2006

Enter NEWS followed by the item number or name to see news on that
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* * * * *

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 07:31:09 ON 18 MAY 2006

=> file reg

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 07:31:17 ON 18 MAY 2006

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STRUCTURE FILE UPDATES: 16 MAY 2006 HIGHEST RN 884586-69-0

DICTIONARY FILE UPDATES: 16 MAY 2006 HIGHEST RN 884586-69-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

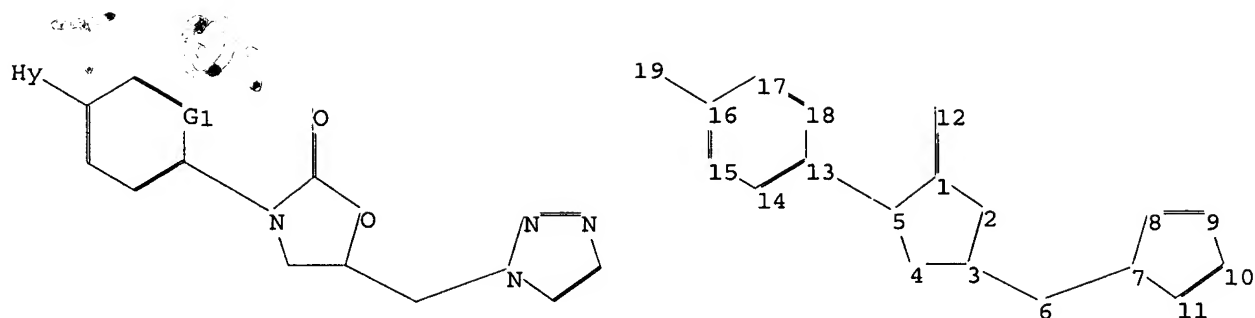
Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10550038\10550038a.str



chain nodes :

6 12 19

ring nodes :

1 2 3 4 5 7 8 9 10 11 13 14 15 16 17 18

chain bonds :

1-12 3-6 5-13 6-7 16-19

ring bonds :

1-2 1-5 2-3 3-4 4-5 7-8 7-11 8-9 9-10 10-11 13-14 13-18 14-15 15-16
16-17 17-18

exact/norm bonds :

1-2 1-5 1-12 2-3 3-4 3-6 4-5 5-13 6-7 7-8 7-11 8-9 9-10 10-11 13-14
13-18 14-15 15-16 16-17 16-19 17-18

GF:C,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom

Generic attributes :

19:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

Number of Hetero Atoms : 2 or more

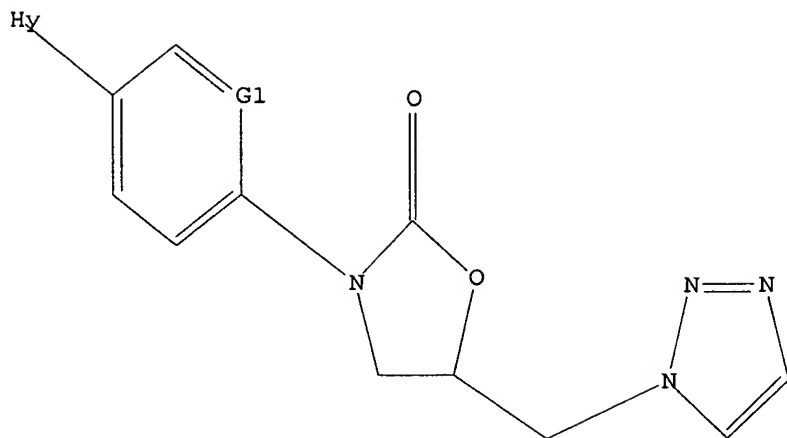
Type of Ring System : Monocyclic

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 07:31:49 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 65 TO ITERATE

100.0% PROCESSED 65 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 817 TO 1783
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 07:31:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1368 TO ITERATE

100.0% PROCESSED 1368 ITERATIONS 55 ANSWERS
SEARCH TIME: 00.00.01

L3 55 SEA SSS FUL L1

=> file caplus

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 166.94 | 167.15 |

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FILE COVERS 1907 - 18 May 2006 VOL 144 ISS 21
FILE LAST UPDATED: 16 May 2006 (20060516/ED)

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=> s l3

L4 9 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:409511 CAPLUS
DOCUMENT NUMBER: 142:463731

TITLE: A preparation of novel oxazolidinone derivatives,
useful as antibacterial agents
INVENTOR(S): Kang, Jae-Hoon; Park, Chun-Ho; Kwon, Jin-Sun
PATENT ASSIGNEE(S): 11-Dong Pharm. Co., Ltd., S. Korea
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2

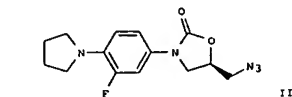
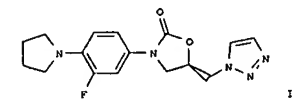
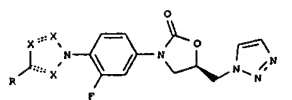
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2005042523 | A1 | 20050512 | WO 2004-KR2805 | 20041103 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| PRIORITY APPLN. INFO.: | | | KR 2003-77372 | A 20031103 |
| | | | KR 2004-82328 | A 20041014 |

OTHER SOURCE(S): MARPAT 142:463731
G1

Late

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



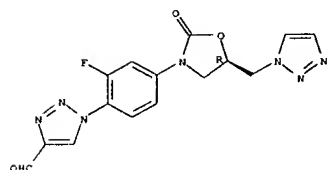
AB The invention relates to a preparation of novel oxazolidinone derivs. of formula I (R is H, amide, aldehyde, or nitrile, etc.; each X is independently N or CH), useful as antibacterial agents. For instance, oxazolidinone derivative II (MIC (μg/mL): str. pyogenes 77A - 0.4, s. aureus 285 - 0.8, MRSA 2 - 1.6; LD50 >5000 mg/kg) was prepared via 1,3-dipolar cycloaddn. of vinyl acetate to (azidomethyl)oxazolidinone derivative III with a yield of 74%.

IT 851529-97-09 851529-98-1P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of novel oxazolidinone derivs. useful as antibacterial agents)

RN 851529-97-0 CAPLUS
CN 1H-1,2,3-Triazole-4-carboxaldehyde,
1-[2-fluoro-4-[(5R)-2-oxo-5-[(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-oxime (9CI) (CA INDEX NAME)

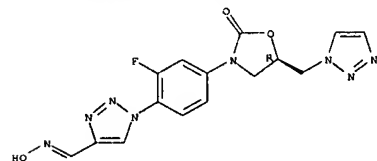
Absolute stereochemistry.

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 851529-98-1 CAPLUS
CN 1H-1,2,3-Triazole-4-carboxaldehyde,
1-[2-fluoro-4-[(5R)-2-oxo-5-[(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

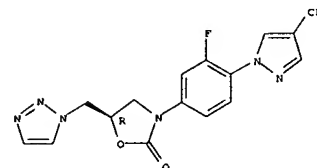


IT 851530-02-4P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel oxazolidinone derivs. useful as antibacterial agents)

RN 851530-02-4 CAPLUS
CN 1H-Pyrazole-4-carbonitrile,
1-[2-fluoro-4-[(5R)-2-oxo-5-[(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

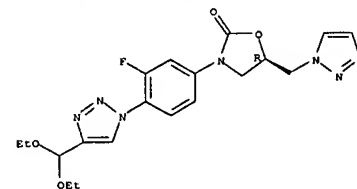
L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 851529-96-9P 851530-00-2P 851530-01-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of novel oxazolidinone derivs. useful as antibacterial agents)

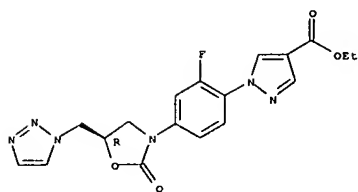
RN 851529-96-9 CAPLUS
CN 2-Oxazolidinone, 3-[4-[4-(diethoxymethyl)-1H-1,2,3-triazol-1-yl]-3-fluorophenyl]-5-[(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



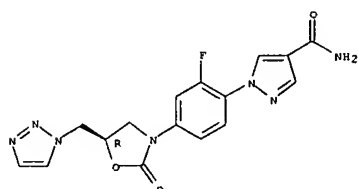
RN 851530-00-2 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 1-[2-fluoro-4-[(5R)-2-oxo-5-[(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851530-01-3 CAPLUS
CN 1H-Pyrazole-4-carboxamide,
1-[2-fluoro-4-((5R)-2-oxo-5-((1H-1,2,3-triazol-1-yl)methyl)-3-oxazolidinyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

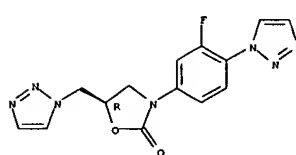


IT 851529-85-6P 851529-86-7P 851529-89-2P
RL: PAC (Pharmacological activity); SPM (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(Preparation of novel oxazolidinone derivs. useful as antibacterial agents)

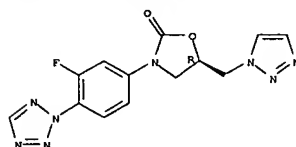
RN 851529-85-6 CAPLUS
CN 2-Oxazolidinone,
3-[3-fluoro-4-((1H-1,2,3-triazol-1-yl)phenyl)-5-((1H-1,2,3-triazol-1-yl)methyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



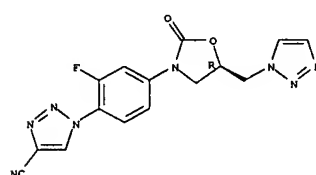
RN 851529-86-7 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-((2H-tetrazol-2-yl)phenyl)-5-((1H-1,2,3-triazol-1-yl)methyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851529-99-2 CAPLUS
CN 1H-1,2,3-Triazole-4-carbonitrile, 1-[2-fluoro-4-((5R)-2-oxo-5-((1H-1,2,3-triazol-1-yl)methyl)-3-oxazolidinyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

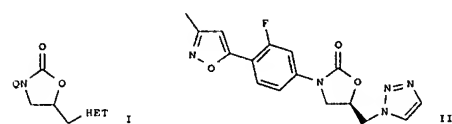
FORMAT

Current application

ACCESSION NUMBER: 2004:799584 CAPLUS
DOCUMENT NUMBER: 141:296028
TITLE: Preparation of azolymethyloxazolidinones as antibacterials.
INVENTOR(S): Graveslock, Michael Barry; Hales, Neil James; Hauck, Sheila Irene
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
SOURCE: VCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2004083206 | A1 | 20040930 | WO 2004-GB1132 | 20040316 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HD, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, NA, NI, NO, NZ, OM, OS, PA, PE, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| R: BW, GH, GM, KE, LS, MM, MY, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1603903 | A1 | 20051214 | EP 2004-720909 | 20040316 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK | | | | |
| US 2006079695 | A1 | 20060413 | US 2005-550038 | 20050921 |
| PRIORITY APPL. INFO.: GB 2003-6357 A 20030320 | | | | |
| WO 2004-GB1132 W 20040316 | | | | |

OTHER SOURCE(S): MARPAT 141:296028
G1



AB Title compds. [I: HET = pyrazolyl, imidazolyl, triazolyl, tetrazolyl; Q = (substituted) azolyl;phenyl, azolylpyridinyl, azolylloxazolyl, azolylthiazolyl, etc.] were prepared Thus.
(R)-3-[3-fluoro-4-iodophenyl]-5-((1H-1,2,3-triazol-1-yl)methyl)-1,3-oxazolidin-2-one (preparation given), (PPh3)2PdCl2, and 5-tributylstanny-1,3-methylisoxazole were heated together

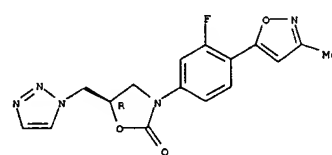
at 100° in dioxane for 16 h to give title compd. (II). II showed a min. inhibitory concn. of 1 µg/mL against Staphylococcus aureus MS05 (methicillin resistant and quinolone resistant).

IT 765286-96-2P 765286-97-3P 765286-98-4P
765286-99-5P 765287-00-1P 765287-01-2P
765287-02-3P 765287-03-4P 765287-04-5P
765287-05-6P 765287-06-7P 765287-18-1P
RL: PAC (Pharmacological activity); SPM (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(Preparation of azolymethyloxazolidinones as antibacterials)

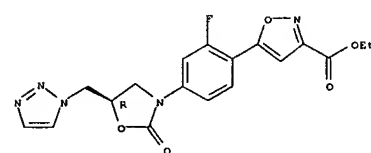
RN 765286-96-2 CAPLUS
CN 2-Oxazolidinone,
3-[3-fluoro-4-((3-methyl-5-isoxazolyl)phenyl)-5-((1H-1,2,3-triazol-1-yl)methyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



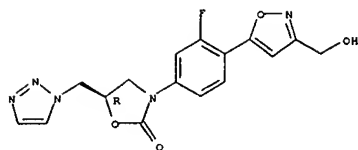
RN 765286-97-3 CAPLUS
CN 3-Isoxazolecarboxylic acid,
5-[2-fluoro-4-((5R)-2-oxo-5-((1H-1,2,3-triazol-1-yl)methyl)-3-oxazolidinyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



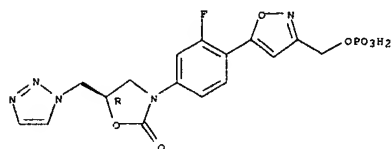
RN 765286-98-4 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-((3-(hydroxymethyl)-5-isoxazolyl)phenyl)-5-((1H-1,2,3-triazol-1-yl)methyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



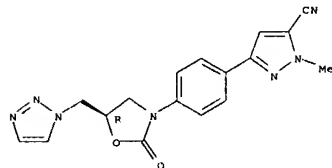
RN 765286-99-5 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[(phosphonoxy)methyl]-5-isoxazolyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



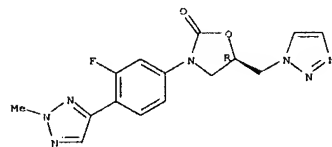
RN 765287-00-1 CAPLUS
CN 1H-Pyrazole-5-carbonitrile, 1-methyl-3-[4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



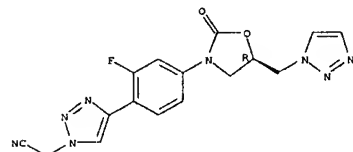
RN 765287-01-2 CAPLUS
CN 1H-Pyrazole-5-carboxaldehyde, 1-methyl-3-[4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



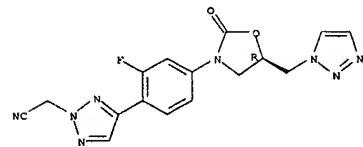
RN 765287-05-6 CAPLUS
CN 1H-1,2,3-Triazole-1-acetonitrile, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



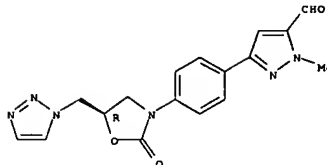
RN 765287-06-7 CAPLUS
CN 2H-1,2,3-Triazole-2-acetonitrile, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



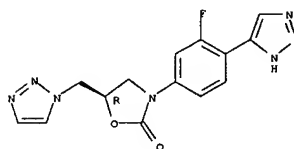
RN 765287-18-1 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[(phosphonoxy)methyl]-5-isoxazolyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, disodium salt, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



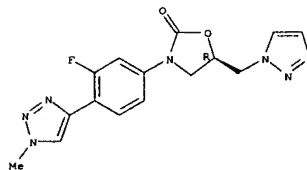
RN 765287-02-3 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[(1H-1,2,3-triazol-4-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



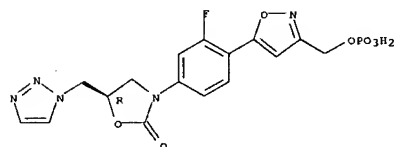
RN 765287-03-4 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[(1-methyl-1H-1,2,3-triazol-4-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 765287-04-5 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[(2-methyl-2H-1,2,3-triazol-4-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

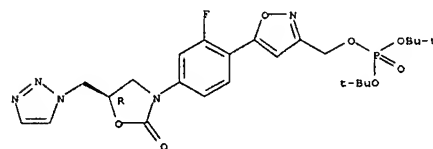


● 2 Na

IT 765287-07-8P 765287-15-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azolymethyloxazolidinones as antibacterials)

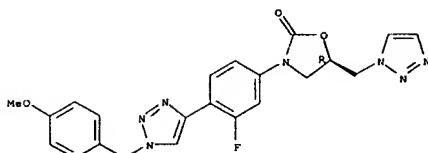
RN 765287-07-8 CAPLUS
CN Phosphoric acid, bis(1,1-dimethylethyl) [5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 765287-15-8 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[(4-methoxyphenyl)methyl]-1H-1,2,3-triazol-4-yl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

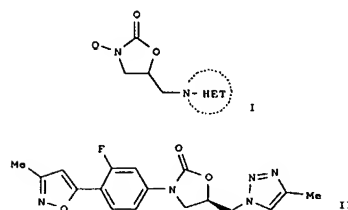


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

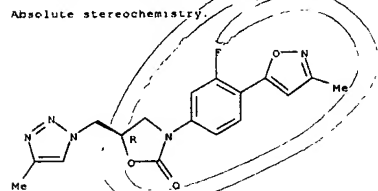
ACCESSION NUMBER: 2004:799583 CAPLUS
DOCUMENT NUMBER: 141:314336
TITLE: Preparation of 1,3-oxazolidin-2-one derivatives as antibacterial agents
INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Hauck, Sheila Irene
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2004083205 | A1 | 20040130 | WO 2004-GB1119 | 20040316 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BE, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SI, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1603904 | A1 | 20051214 | EP 2004-720912 | 20040316 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK | | | | |
| US 20040084810 | A1 | 20040420 | US 2005-550039 | 20050921 |
| PRIORITY APPLN. INFO.: GB 2003-6358 A 20030120 | | | | |
| WO 2004-GB1119 W 20040316 | | | | |

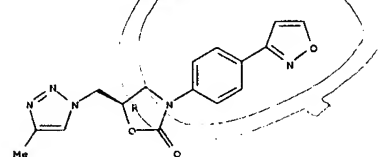
OTHER SOURCE(S): MARPAT 141:314336
GI



AB Title compds. represented by the formula I [wherein N-HET = (un)substituted 1-pyrazolyl, 1-imidazolyl, 1,2,3-triazol-1-yl, etc.; Q = (un)substituted heteroaryl Ph, pyridinyl, thienyl, etc.] and pharmaceutically acceptable salts or an in-vivo hydrolyzable ester thereof] were prepared as MAO-A (mono-amine oxidase) inhibitors. For example, coupling reaction of
(5R)-3-[(3-fluoro-4-iodophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one with 5-(tributylstannyl)-3-methylisoxazole gave II. II showed decreased MAO-A potency with Ki value of 21 µg/mL. Thus, I and their pharmaceutical compns. are useful as antibacterial agents.
IT 765912-32-1P 765912-34-3P 765912-36-5P
RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BTOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,3-oxazolidin-2-one derivs. as MAO-A inhibitors)
RN 765912-32-1 CAPLUS
CN 2-Oxazolidinone,
3-[(3-fluoro-4-[(3-methyl-5-isoxazolyl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)
Absolute stereochemistry.



RN 765912-34-3 CAPLUS
CN 2-Oxazolidinone,
3-[(4-[(3-isoxazolyl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)
Absolute stereochemistry.



RN 765912-36-5 CAPLUS
CN 2-Oxazolidinone, 3-[(3-fluoro-4-[(1-phenylmethyl)-1H-1,2,3-triazol-4-yl]phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

ODP

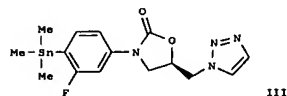
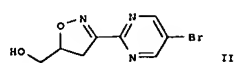
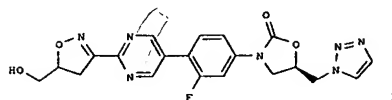
Not ODP

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:550955 CAPLUS
 DOCUMENT NUMBER: 141:89124
 TITLE: A preparation of oxazolidinone derivatives, useful as antibacterial agents
 INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Huynh, Hoan Khai
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 117 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2004056817 | A1 | 20040708 | WO 2003-GB5448 | 20031215 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GR, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OH, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, | | | | |
| TG | | | | |
| AU 2003292422 | A1 | 20040714 | AU 2003-292422 | 20031215 |
| EP 1572688 | A1 | 20030914 | EP 2003-769000 | 20031215 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2006512352 | T2 | 20060413 | JP 2004-561616 | 20031215 |
| US 2006058314 | A1 | 20060316 | US 2005-539482 | 20050617 |
| PRIORITY APPLN. INFO.: GB 2002-29526 A 20021219 | | | | |
| WO 2003-GB5448 W 20031215 | | | | |

OTHER SOURCE(S): MARPAT 141:89124
 GI

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB The invention relates to a preparation of oxazolidinone derivs. of formula

R1-A-C-B-CH2-R2 [wherein: A and B are independently selected from oxazolidinone or isoxazole derivs.; C is a biaryl group C1-C2 where C1 is benzene-1,4-diyl, thiene-2,5-diyl, or pyridine-2,5-diyl, etc., and C2 is pyridazine-3,6-diyl, pyrazine-2,5-diyl, pyrimidine-2,5-diyl, or 1,3,4-thiadiazole-2,5-diyl, etc.; R1 is CN, C(O), (un)substituted Ph or naphthyl, cycloalkyl, or heteroaryl, etc.; R2 is OH, OSi(trialkyl), or NHC(O)Me, etc.], useful as antibacterial agents. For instance, oxazolidinone derivative I was prepared from the obtained

bromopyrimidine derivative
 II and obtained trimethylstannylphenyloxazole derivative III in the presence of palladium catalyst. For instance, antibacterial properties of I against several types of bacteria were determined [MIC(μg/mL): staphylococcus aureus (2), streptococcus pneumoniae (0.25), haemophilus influenza (8)].

IT 716379-02-1P 716379-05-4P 716379-09-8P
 716379-12-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

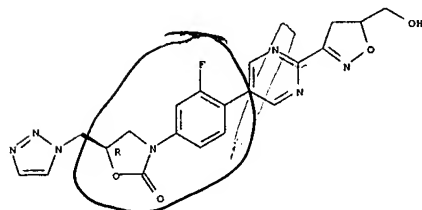
(preparation of oxazolidinone derivs., useful as antibacterial agents)

RN 716379-02-1 CAPLUS

CN 2-Oxazolidinone, 3-[4-[2-[4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-5-pyrimidinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

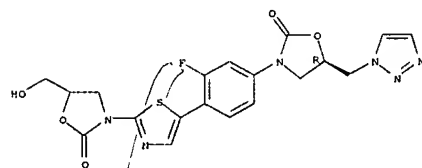
Absolute stereochemistry.

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

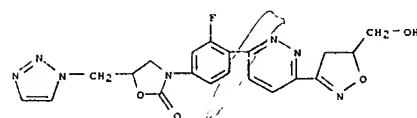


RN 716379-05-4 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-[2-[5-(hydroxymethyl)-2-oxo-3-oxazolidinyl]-5-thiazolyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



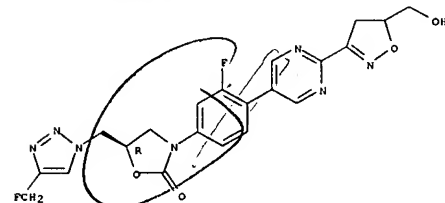
RN 716379-09-8 CAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)- (9CI) (CA INDEX NAME)



RN 716379-12-3 CAPLUS
 CN 2-Oxazolidinone, 3-[4-[2-[4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-5-pyrimidinyl]-3-fluorophenyl]-5-[[4-(fluoromethyl)-1H-1,2,3-triazol-1-yl]methyl]-, (5R)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



REFERENCE COUNT: 5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RECORD

FORMAT

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:292029 CAPLUS
DOCUMENT NUMBER: 140:321158
TITLE: Methods of preparation of bifunctional heterocyclic compounds for use as antiinfective,
antiproliferative,
antiinflammatory and prokinetic agents
INVENTOR(S): Wang, Deping; Sutcliffe, Joyce A.; Oyeler, Adegboye
K.: McConnell, Timothy S.; Ippolito, Joseph A.; Abelson, John N.
PATENT ASSIGNEE(S): Rib-X Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 363 pp.
CODEN: PIXMD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

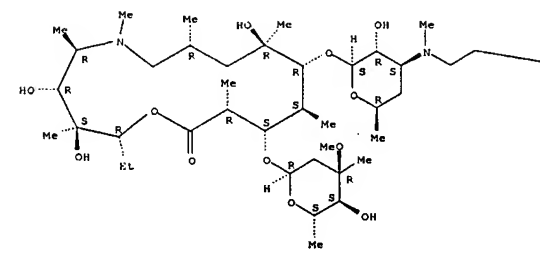
PATENT NO. KIND DATE APPLICATION NO. DATE
WO 2004029066 A2 20040408 WO 2003-US30478 20030926
WO 2004029066 C1 20040513
WO 2004029066 A3 20040826
W: AZ, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MX, MY, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UY, VC, VN, YU, ZA, ZM, ZW, AA, AZ, BY, GM, GN, KE, LS, MM, MZ, SD, SL, SE, TZ, UG, ZM, ZW, AM, AZ, BY, FI, FR, GB, GR, HU, ID, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2003278995 A1 20040419 AU 2003-278995 20030925
US 2005197334 A1 20050908 US 2003-671326 20030925
CA 2500158 AA 20040408 CA 2003-2500158 20030926
EP 154017 A2 20050622 EP 2003-770506 20030926
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EB, HU, SK
JP 2006030848 T2 20060202 JP 2004-540011 20030926
PRIORITY APPL. INFO.: US 2002-414207P P 20020926
US 2003-448216P P 20030219
WO 2003-US30478 W 20030926

OTHER SOURCE(S): HARPAT 140:321158
GI

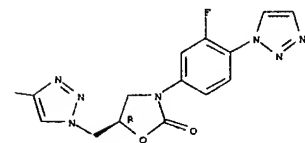
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides a family of bifunctional heterocyclic compds., e.g., I [A = C, C(=O), N (with proviso, that at least one A = C); B = O, NR2, S(O), C(=O), C(=S), C(=NR3); p = 0, 1; q = 0, 1; r = 0 - 2; R2 = H, S(O)R4, CHO, C1-8-alkyl; C2-8-alkenyl, C2-8-alkynyl, C1-8-alkoxy, C1-8-alkylthio, C1-8-acyl, (un)saturated or aromatic C3-8-carbocycle, (un)saturated

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
PAGE 1-A



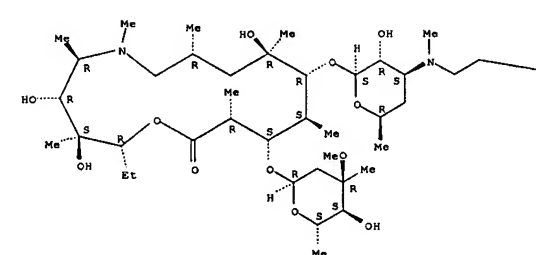
PAGE 1-B



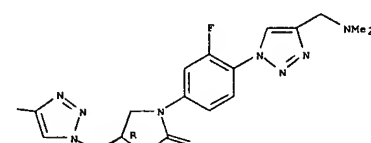
RN 677726-62-4 CAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one,
13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
u-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-[[2-[1-[[[(5R)-3-[[4-[[
(dimethylamino)methyl]-1H-1,2,3-triazol-1-yl]-3-fluorophenyl]-2-oxo-5-
oxazolidinyl)methyl]-1H-1,2,3-triazol-4-yl]ethyl]methylamino]-β-D-
xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI)
(CA
INDEX NAME)
Absolute stereochemistry.

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
R2 = 5 to 10-membered heterocycle (contg. one or more N, S, O); NR2R2 = 5 to 8-membered (un)satd. carbocycle or heterocycle (contg. one or more N, S, O); R3 = H, C1-8-alkyl; C2-8-alkenyl, C2-8-alkynyl, C1-8-acyl, (un)satd. or arom. C3-8-carbocycle, (un)satd. or arom. 5 to 7-membered heterocycle (contg. one or more N, S, O); NR3R3 = 5 to (un)satd. 7-membered carbocycle or heterocycle (contg. one or more N, S, O); R4 = NR3R3, NR3OR3, NR3NR3R3, NHCOR3, C(=O)NR3R3, C1-8-alkyl; C2-8-alkenyl, C2-8-alkynyl, etc.; D = D1, D2, D3, D4; E = di- or penta-substituted Ph, substituted 4-vinylphenyl; G = C1-4-alkyl, C5-8-alkyl, C2-8-alkenyl, C2-8-alkynyl, C1-8-alkoxy, C1-8-alkylthio, C1-8-acyl, (un)satd. or arom. C3-10-carbocycle, (un)satd. or arom. 5 to 10-membered heterocycle (contg. one or more N, S, O); Z = C.N.O.S; dashed line = single or double bond)
or
a pharmaceutically acceptable salt, ester or prodrug thereof, useful as antiinfective, antiproliferative, antiinflammatory and prokinetic agents (no data). The invention also provides methods of making the bifunctional heterocyclic compds., and methods of using such compds. as antiinfective, antiproliferative, antiinflammatory and/or prokinetic agents. Thus, erythromycin deriv. II was prepd. from N-(desmethylethromycin), via N-alkylation with HC.tlpbond.CCH2CH2OTs, and cycloaddn. with azide III.
IT 677726-60-2P 677726-62-4P 677726-65-7P
677727-94-5P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bifunctional heterocyclic compds. for use as antiinfective,
antiproliferative, antiinflammatory and prokinetic agents)
RN 677726-60-2 CAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one,
13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
u-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-[[2-[1-[[[(5R)-3-[[3-
fluoro-4-[[1H-1,2,3-triazol-1-yl]phenyl]-2-oxo-5-oxazolidinyl)methyl]-1H-
1,2,3-triazol-4-yl]ethyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

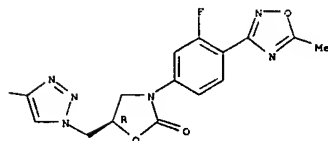
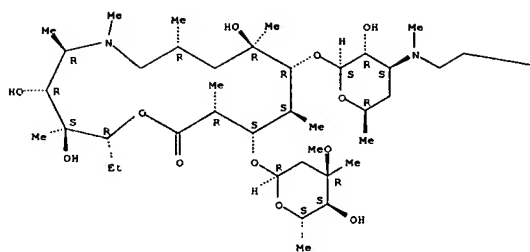
L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
PAGE 1-A



PAGE 1-B



RN 677726-65-7 CAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one,
13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
u-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-[[2-[1-[[[(5R)-3-[[3-
fluoro-4-[[5-methyl-1,2,4-oxadiazol-3-yl]phenyl]-2-oxo-5-
oxazolidinyl)methyl]-1H-1,2,3-triazol-4-yl]ethyl]methylamino]-β-D-
xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI)
(CA
INDEX NAME)
Absolute stereochemistry.



```

AN 677727-94-5 CARLUS
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13-[[2,6-dideoxy-3-C-methyl-3-O-methyl-
    -L-ribo-hexopyranosyl]oxyl-2-ethyl-3,4,10-trihydroxy-
    3,5,6,8,10,12,14-heptamethyl-11-[3,4,6-trideoxy-3-[[2-[1-[[5R)-3-(3-
    fluoro-4,11,12,4-oxadiazol-3-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-
    1,2,3-triazol-4-yl]ethylthio]amino]-R-D-xyllo-hexopyranosyl]oxyl-,
    (2R,3S,4R,5R,6R,10R,11R,12R,13S,14R)- (9CI) (CA INDEX NAME)

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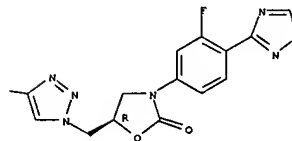
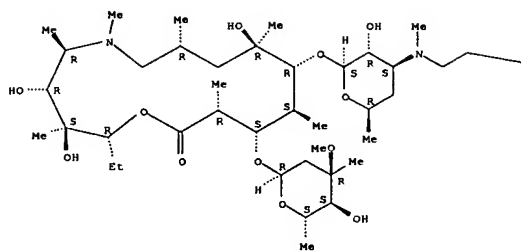
Absolute stereochemistry.

Not oop

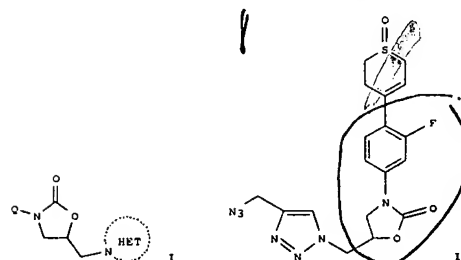
14 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN 1
ACCESSION NUMBER: 2003:696895 CAPLUS
DOCUMENT NUMBER: 139:214459
TITLE: Preparation of 5-azolymethyl oxazolidinones and
their use as antibacterial agents
INVENTOR(S): Gravesstock, Michael Barry; Hales, Neil James; Reck,
Folkert; Zhou, Pei; Fleming, Paul Robert; Carcanague,
Daniel Robert
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION: 102(c)
102(c)

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2003-025756 | A2 | 20030904 | WO 2003-GB7951 | 20030225 |
| WO 2003-072576 | A3 | 20031231 | | |
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| RM: GH, GM, KE, LS, MG, MR, MU, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PI, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
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| AU 20030209994 | A1 | 20030909 | AU 2003-209994 | 20030225 |
| EP 1480975 | A2 | 20040120 | EP 2003-742987 | 20030225 |
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| CM 1653064 | A | 20050810 | CN 2003-809160 | 20030225 |
| US 2005182112 | A1 | 20050818 | US 2003-505902 | 20030225 |
| JP 2005331504 | T2 | 20051020 | JP 2004-367182 | 20030225 |
| ZA 2004006884 | A | 20050921 | ZA 2004-6884 | 20040823 |
| NO 2004003951 | A | 20041111 | NO 2004-1951 | 20040921 |
| PRIORITY APPLN. INFO.: | | | US 2002-36688P | P 20020228 |

OTHER SOURCE(S): MARPAT 139:214459
GI



L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB 3-Cyclyl-5-[(nitrogen-containing 5-membered ring)methyl]oxazolidinones (shown as I; e.g.

(SR)-3-[4-(1-Oxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-azidomethyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one (shown as II): -N-HET is, for example, 3-R1-1,2,4-triazol-1-yl or 5-R1-2H-tetrazol-2-yl wherein R1 is, for example, halo or (1-C)alkyl

that is substituted by 1 substituent such as, for example, OH, (1-C)alkoxy, amino, cyano, azido, O = for example, 3-R2-4-T-5-R3phenyl wherein R2 and R3 = H or fluoro; T = for example, 5,6-dihydro-2H-thiopyran-4-yl with 0-2 O atoms

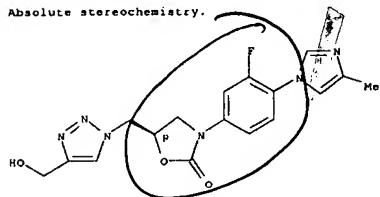
bonded to S) are useful as antibacterial agents; and processes for their manufacture and pharmaceutical compositions containing them are described.

Comps. I have a good spectrum of activity in vitro against standard organisms, which are used to screen for activity against pathogenic bacteria. For example, the min. inhibitory concns. of II against methicillin sensitive and quinolone sensitive *Staphylococcus aureus* and against methicillin resistant and quinolone resistant *Staphylococcus aureus* are 4 and 8 µg/mL, resp. Comps. I showed a favorable decreased MAO-A potency compared with analogs from the known art with C-5 side chains such as acetamidomethyl or unsubstituted acylmethyl or hydroxymethyl. They also showed favorable decreased MAO-A potency compared with analogs in which the HET group is unsubstituted. Sixty-one example preps. of I are included. For example, to prepare II, (SR)-3-[4-(1-oxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-hydroxymethyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one (2.7 mmol) (preparation given) was suspended in CH2Cl2 (10 mL), 1,8-diazabicyclo[5.4.0]undec-7-ene (4.7 mmol) was added and the reaction mixture was cooled to -5°; diphenylphosphoryl azide (3.25 mmol) was added dropwise and it was stirred for 18 h at room temperature; workup gave 1.02 g of II.

IT 591253-98-4P. (SR)-3-[3-fluoro-4-(4-methyl-1H-imidazol-1-

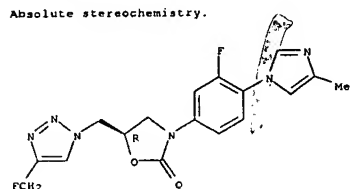
L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 y[phenyl]-5-[4-(4-hydroxymethyl)-1H-1,2,3-triazol-1-yl]methyl]-1,3-oxazolidin-2-one
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate: prepn. of 5-azolymethyl oxazolidinones and their use as antibacterial agents)
 RN 591253-98-4 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]-5-[[4-(hydroxymethyl)-1H-1,2,3-triazol-1-yl]methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

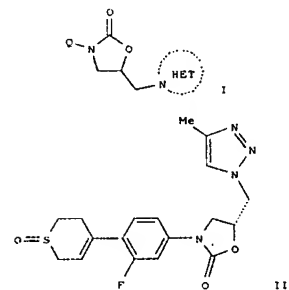


IT 591253-97-3P, (5R)-3-[3-Fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]-5-[[4-(4-fluoromethyl)-1H-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate: preparation of 5-azolymethyl oxazolidinones and their use as antibacterial agents)
 RN 591253-97-3 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]-5-[[4-(fluoromethyl)-1H-1,2,3-triazol-1-yl]methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB 3-Cyclyl-5-[(nitrogen-containing 5-membered ring)methyl]oxazolidinones (shown as I; e.g.
 (5R)-3-[4-(1-oxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[[4-methyl-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one (shown as II); -N-HET is, for example, 3-R1-1,2,4-triazol-1-yl or 5-R1-2H-tetrazol-2-yl wherein R1 is (4-C)alkyl; Q = for example, 3-R2-4-T-5-R3phenyl wherein R2 and R3 = H or fluoro; T = for example, 5,6-dihydro-2H-thiopyran-4-yl with 0-2 O atoms bonded to S), or a pharmaceutically-acceptable salt, or an in-vivo-hydrolyzable ester thereof, are useful as antibacterial agents; and processes for their manufacture and pharmaceutical compns. containing them are described. Comps. I have a good spectrum of activity in vitro against standard organisms, which are used to screen for activity against pathogenic bacteria. For example, the min. inhibitory concns. of II against methicillin sensitive and quinolone sensitive Staphylococcus aureus and against methicillin resistant and quinolone resistant Staphylococcus aureus are 2 and 4 µg/mL, resp., compared to 2 and 2 µg/mL for the reference compound without the Me substituent. Comps. I showed a favorable decreased MAO-A potency compared with analogs from the known art with C-5 side chains such as acetamidomethyl or unsubstituted azolymethyl or hydroxymethyl. They also showed favorable decreased MAO-A potency compared with analogs in which the HET group is unsubstituted. Fifty-seven example prepn. of intermediates and 44 example prepn. of I are included. For example, to prepare II,
 (5R)-3-[4-(1-oxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-azidomethyl]oxazolidin-2-one (1.0 mmol; preparation described) was mixed with 5,6,7,8-tetrachloro-2,9-dimethyl-1,4-dihydro-1,4-ethenonaphthalene (2.0 mmol) in dry 1,4-dioxane (4 mL) in a sealed microwave reaction tube. The tube was placed in a Smith microwave reactor at 170° for 20 min. The reaction mixture was then

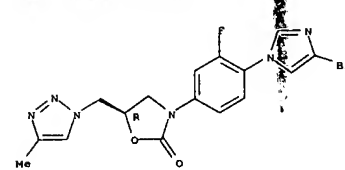
L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:696894 CAPLUS
 DOCUMENT NUMBER: 139:214458
 TITLE: Preparation of 3-cyclyl-5-[(nitrogen-containing 5-membered ring)methyl]oxazolidinones and their use as antibacterial agents
 INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Reck, Folkert; Zhou, Fei; Fleming, Paul Robert; Carcanague, Daniel Robert; Girardot, Marc Michel
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 140 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
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| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------------------------|
| WO 2003072575 | A1 | 20030904 | WO 2003-08785 | 20030225 |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TG, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
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| BR 2003008056 | A | 20041207 | BR 2003-8056 | 20030225 |
| EP 1497286 | A1 | 20050119 | EP 2003-704812 | 20030225 |
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| CN 1649866 | A | 20050803 | CN 2003-809171 | 20030225 |
| JP 2005524661 | T2 | 20050818 | JP 2003-571281 | 20030225 |
| ZA 2004006812 | A | 20050912 | ZA 2004-6812 | 20040826 |
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| PRIORITY APPLN. INFO.: | | | | US 2002-360957P F 20020228 |
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OTHER SOURCE(S): MARPAT 139:214458
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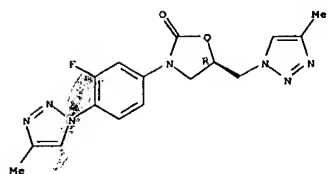
L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 transferred into a round bottom flask and the solvent was removed under vacuum. The residue was purified by chromatog. on silica gel with 5% MeOH in CH2Cl2 to give a mixt. of the 4- and 5-Me regioisomers. This mixt. was further sepd. on a chiral column (chiralcel OD) with iso-PrOH/hexanes (1:1) to give II (74 mg).
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 591232-15-4P, (5R)-3-[3-Fluoro-4-(4-methyl-1,2,3-triazol-1-yl)phenyl]-5-[[4-methyl-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one
 591232-23-4P, (5R)-3-[3-Fluoro-4-(4-methyl-1,2,4-triazol-1-yl)phenyl]-5-[[4-methyl-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one
 591232-31-4P, (5R)-3-[3-Fluoro-4-(4-[(hydroxylamino)methyl]imidazol-1-yl)phenyl]-5-[[4-methyl-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one
 591232-42-7P, (5R)-3-[3-Fluoro-4-(4-formylimidazol-1-yl)phenyl]-5-[[4-methyl-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one
 591232-43-8P, (5R)-3-[3-Fluoro-4-(4-(hydroxymethyl)-1H-imidazol-1-yl)phenyl]-5-[[4-methyl-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one
 591232-46-1P, (5R)-3-[3-Fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]-5-[[4-methyl-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one
 591232-49-4P, (5R)-3-[3-Fluoro-4-(1H-imidazol-1-yl)phenyl]-5-[[4-methyl-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one
 591232-50-7P, (5R)-3-[3-Fluoro-4-(4-cyano-1H-pyrazol-1-yl)phenyl]-5-[[4-methyl-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one
 (5R)-3-[3-Fluoro-4-(1H-imidazol-1-yl)phenyl]-5-[[4-methyl-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate: preparation of cyclyl (nitrogen-containing 5-membered ring)methyl oxazolidinones and their use as antibacterial agents)
 RN 591232-13-2 CAPLUS
 CN 2-Oxazolidinone, 3-[4-(4-bromo-1H-imidazol-1-yl)-3-fluorophenyl]-5-[[4-methyl-1H-1,2,3-triazol-1-yl]methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



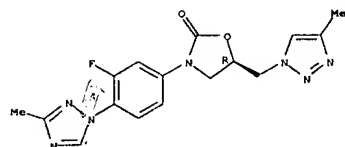
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Absolute stereochemistry.



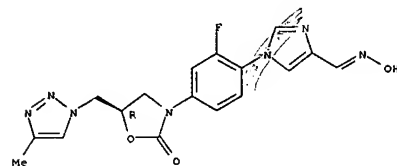
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Absolute stereochemistry.



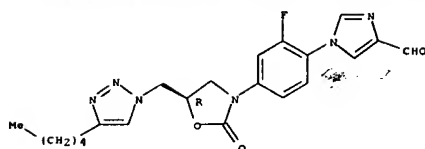
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CN 1H-Imidazole-4-carboxaldehyde, 1-[2-fluoro-4-((5R)-5-((4-methyl-1H-1,2,3-triazol-1-yl)methyl)-2-oxo-3-oxazolidinyl]phenyl]-, 4-oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



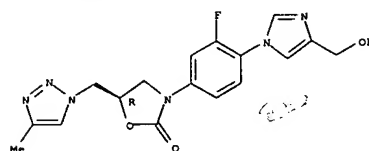
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CN 1H-Imidazole-4-carboxaldehyde, 1-[2-fluoro-4-((5R)-5-((4-methyl-1H-1,2,3-triazol-1-yl)methyl)-2-oxo-3-oxazolidinyl]phenyl]-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.



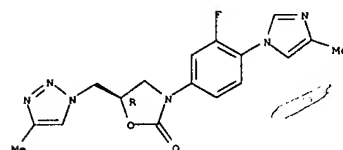
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CN 2-Oxazolidinone, 3-([3-fluoro-4-((4-(hydroxymethyl)-1H-imidazol-1-yl)phenyl)-5-((4-methyl-1H-1,2,3-triazol-1-yl)methyl)-2-oxo-3-oxazolidinyl]phenyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



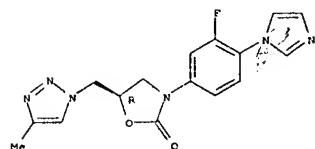
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CN 2-Oxazolidinone, 3-([3-fluoro-4-((4-methyl-1H-imidazol-1-yl)phenyl)-5-((4-methyl-1H-1,2,3-triazol-1-yl)methyl)-2-oxo-3-oxazolidinyl]phenyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



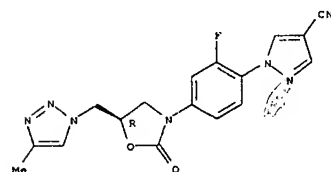
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CN 2-Oxazolidinone, 3-([3-fluoro-4-((4-methyl-1H-imidazol-1-yl)phenyl)-5-((4-methyl-1H-1,2,3-triazol-1-yl)methyl)-2-oxo-3-oxazolidinyl]phenyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



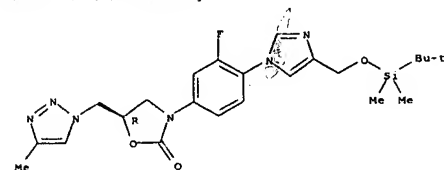
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CN 1H-Pyrazole-4-carbonitrile, 1-[2-fluoro-4-((5R)-5-((4-methyl-1H-1,2,3-triazol-1-yl)methyl)-2-oxo-3-oxazolidinyl]phenyl]-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 591232-44-99P, (5R)-3-([4-((tert-butyl(dimethylsilyloxy)methyl)-1H-imidazol-1-yl)-3-fluorophenyl]-5-((4-methyl-1,2,3-triazol-1-yl)methyl)oxazolidin-2-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclol (nitrogen-containing 5-membered ring)methyl oxazolidinones and their use as antibacterial agents)
RN 591232-44-9 CAPLUS
CN 2-Oxazolidinone, 3-([4-((tert-butyl(dimethylsilyloxy)methyl)-1H-imidazol-1-yl)-3-fluorophenyl]-5-((4-methyl-1H-1,2,3-triazol-1-yl)methyl)-2-oxo-3-oxazolidinyl]phenyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RECORD

FORMAT

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:353972

DOCUMENT NUMBER: 138:353972

TITLE:

antibacterial

activity:

Graveslock, Michael Barry

Patent Assigner(s): Astrazeneca AB, Sweden; Astrazeneca UK Limited

SOURCE:

Patent

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2003035648 | A1 | 20030501 | WO 2002-GB4796 | 20021023 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
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| GB 2396350 | A1 | 20040623 | GB 2004-8399 | 20021023 |
| EP 1446403 | A1 | 20040818 | EP 2002-770098 | 20021023 |
| EP 1446403 | B1 | 20060412 | | |
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| JP 2005519870 | T2 | 20050707 | JP 2003-538164 | 20021023 |
| US 2005043374 | A1 | 20050224 | US 2004-493609 | 20041018 |
| PRIORITY APPLN. INFO.: | | | US 2001-330589P | P 20011025 |
| | | | WO 2002-GB4796 | W 20021023 |

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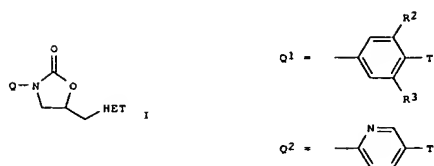
MARPAT 138:353972

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ODP
102(a)
102(b)

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)



AB Title compds. 1 [wherein HET = (un)substituted N-linked 5-membered heterocyclic or 6-membered dihydroheterocyclic ring containing heteroatoms selected from N, O, and S; Q = Q1, Q2, etc.; R2 and R3 = independently H or F; T = (un)substituted C-linked 5-membered heterocyclic containing 1-3 heteroatoms selected from N, O, and S; preferably T = (un)substituted 1,3,4-thiadiazolyl, thiazolyl, 1,3,4-oxadiazolyl, or oxazolyl; and pharmaceutically acceptable salts or hydrolyzable esters thereof] were prepared as antibacterial agents. For example, (5R)-3-(3-fluoro-4-iodophenyl)-5-hydroxymethyl-1,3-oxazolidin-2-one was mesylated and the product converted to the azide. Cyclization of the azide with bicyclo[2.2.1]heptadiene gave the 1,2,3-triazole, which was substituted with hexamethylditin to afford the stannane. Reaction with 5-chloro-1,3,4-thiadiazole-2-carbonitrile in the presence of AsPh3 and tris(dibenzylideneacetone)dipalladium in N-methyl-2-pyrrolidinone provided VII. The latter inhibited bacterial growth against

Staphylococcus aureus (methicillin sensitive and quinolone sensitive), Staphylococcus aureus (methicillin resistant and quinolone resistant), Streptococcus pneumoniae, Streptococcus pyogenes, Haemophilus influenzae, and Moraxella catarrhalis with MIC values of 0.125 µg/mL, 0.25 µg/mL, 0.125 µg/mL, 0.125 µg/mL, 2 µg/mL, and 0.5 µg/mL, resp.

IT 519003-00-0P, (5R)-3-[3-fluoro-4-(5-cyano-1,3,4-thiadiazol-2-yl)phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 519003-02-2P, (5R)-3-[3-fluoro-4-(5-ethoxycarbonyl-1,3,4-

thiadiazol-2-yl)phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 519003-03-3P, (5R)-3-[4-[5-(aminomethyl)-1,3-thiazol-2-yl]-3-fluorophenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 519003-05-5P, (5R)-3-[3-fluoro-4-(5-methyl-1,3,4-thiadiazol-2-yl)phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 519003-11-3P, (5R)-3-[3-fluoro-4-(4-methyl-1,3-thiazol-2-yl)phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 519003-14-6P, (5R)-3-[3-fluoro-4-[4-(trifluoromethyl)-1,3-thiazol-2-yl]phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 519003-16-8P

RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

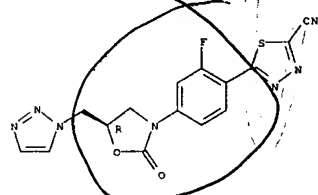
(Uses)

(antibacterial agent; prepn. of (aryl)oxazolidinones as antibacterial agents)

RN 519003-00-0

CN 1,3,4-Thiadiazole-2-carbonitrile, 5-[2-fluoro-4-[(5R)-2-oxo-5-[(1H-1,2,3-triazol-1-yl)methyl]-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

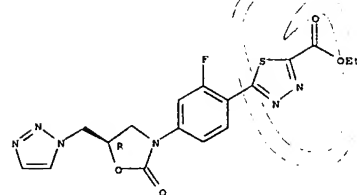


RN 519003-02-2

CN 1,3,4-Thiadiazole-2-carboxylic acid,

5-[2-fluoro-4-[(5R)-2-oxo-5-[(1H-1,2,3-triazol-1-yl)methyl]-3-oxazolidinyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



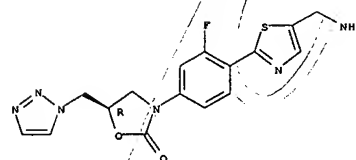
RN 519003-03-3

CN 2-Oxazolidinone,

3-[4-[5-(aminomethyl)-2-thiazolyl]-3-fluorophenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

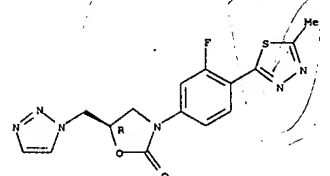
L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 519003-05-5

CN 2-Oxazolidinone, 3-[3-fluoro-4-(5-methyl-1,3,4-thiadiazol-2-yl)phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

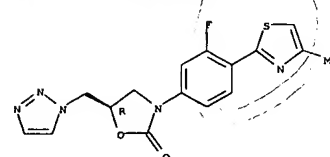


RN 519003-11-3

CN 2-Oxazolidinone,

3-[3-fluoro-4-(4-methyl-2-thiazolyl)phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

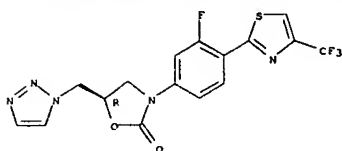


RN 519003-14-6

CN 2-Oxazolidinone,

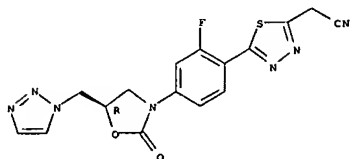
3-[3-fluoro-4-[4-(trifluoromethyl)-2-thiazolyl]phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



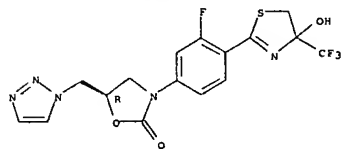
RN 519003-16-8 CAPLUS
 CN 1,3,4-Thiadiazole-2-acetonitrile, 5-[2-fluoro-4-((5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl)phenyl]- (9C1) (CA INDEX NAME)

Absolute stereochemistry.



IT 519003-15-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of (aryl)oxazolidinones as antibacterial agents)
 RN 519003-15-7 CAPLUS
 CN 2-Oxazolidinone, 3-[4-[4,5-dihydro-4-hydroxy-4-(trifluoromethyl)-2-thiazolyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

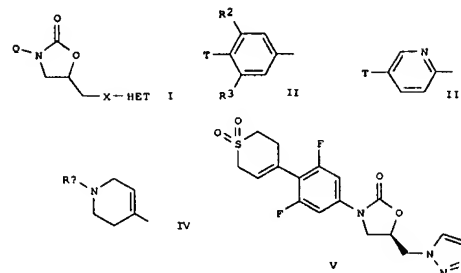
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L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2001:798227 CAPLUS
 DOCUMENT NUMBER: 135:344473
 TITLE: Oxazolidinone derivatives with antibacterial activity
 INVENTOR(S): Gravestock, Michael Barry; Betts, Michael John; Griffin, David Alan; Matthews, Ian Richard
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 143 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

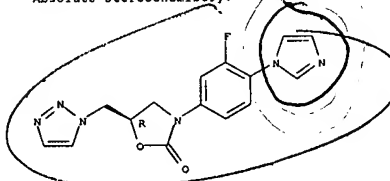
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2001081350 | A1 | 20011101 | WO 2001-GB1815 | 20010423 |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2405349 | AA | 20011101 | CA 2001-2405349 | 20010423 |
| BR 2001010240 | A | 20030107 | BR 2001-10240 | 20010423 |
| EP 1286998 | A1 | 20030305 | EP 2001-921669 | 20010423 |
| EP 1286998 | B1 | 20040609 | | |
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| JP 200351211 | T2 | 20031021 | JP 2001-578439 | 20010423 |
| EE 20020059R | A | 20040415 | EE 2002-598 | 20010423 |
| NZ 521765 | A | 20040528 | NZ 2001-521765 | 20010423 |
| AT 268778 | E | 20040615 | AT 2001-921669 | 20010423 |
| PT 1286998 | T | 20040930 | PT 2001-921669 | 20010423 |
| ES 2220759 | T3 | 20041216 | ES 2001-1921669 | 20010423 |
| AU 781784 | B2 | 20050616 | AU 2001-48636 | 20010423 |
| ZA 2002008187 | A | 20040211 | ZA 2002-8187 | 20021010 |
| NO 2002005091 | A | 20021209 | NO 2002-5091 | 20021023 |
| US 200216373 | A1 | 20031120 | US 2003-258355 | 20030506 |
| HK 1053114 | A1 | 20050218 | HK 2003-105394 | 20030725 |
| | | | GB 2000-9803 | A 20000425 |
| PRIORITY APPLN. INFO.: | | | WO 2001-GB1815 | W 20010423 |

OTHER SOURCE(S): MAKPAT 135:344473
 GI



AB The title compds. [I; X = O, NH, S, etc.]; HET = (un)substituted C-linked 5-membered heteroaryl ring containing 2-4 heteroatoms selected from N, O and S, etc.; Q = II, III, etc. (wherein R2, R3 = H, F; T = an N-linked (fully unsatd.) 5-membered heteroaryl ring system or IV; R4 = R13CO, R13SO2, R13CS, etc.; R13 = alkyl, etc.), useful as antibacterial agents, were prepared and formulated. E.g., a multi-step synthesis of the oxazoline (R)-V which showed MIC of 0.125 µg/mL against Staphylococcus aureus (Oxford), was given.
 IT 371194-46-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (oxazolidinone derivs. with antibacterial activity)
 RN 371194-46-6 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(1H-imidazol-1-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

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